

10/648,151

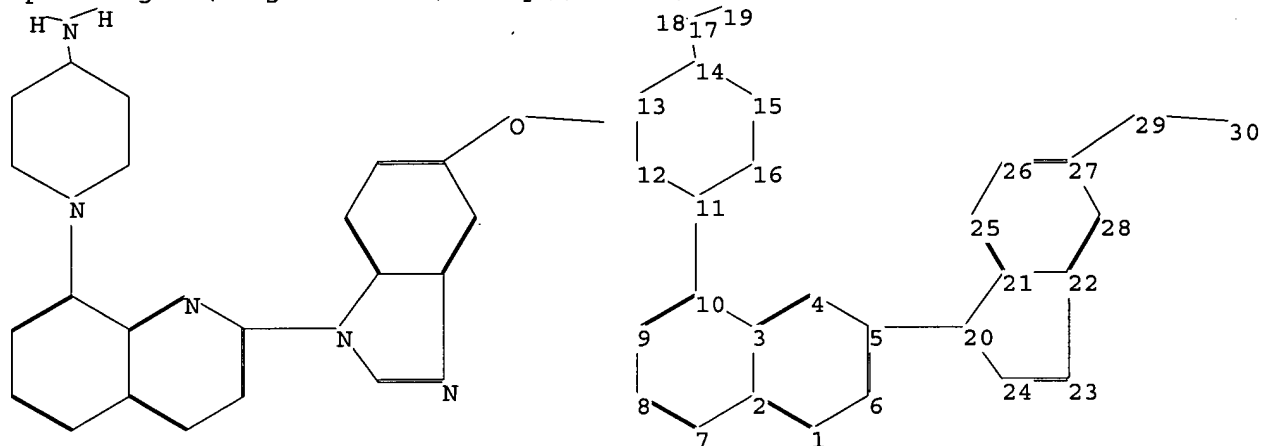
* * * * * STN Columbus * * * * *

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Uploading C:\Program Files\Stnexp\Queries\10648151.str



chain nodes :

17 18 19 29

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 20 21 22 23 24 25 26
27 28

ring/chain nodes :

30

chain bonds :

5-20 10-11 14-17 17-18 17-19 27-29 29-30

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 20-21 20-24 21-22 21-25 22-23 22-28 23-24 25-26 26-27 27-28

exact/norm bonds :

5-20 10-11 11-12 11-16 12-13 13-14 14-15 14-17 15-16 20-21 20-24 22-23
23-24 27-29 29-30

exact bonds :

17-18 17-19

normalized bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 21-22 21-25 22-28 25-26
26-27 27-28

isolated ring systems :

containing 1 : 11 : 20 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:CLASS 30:CLASS

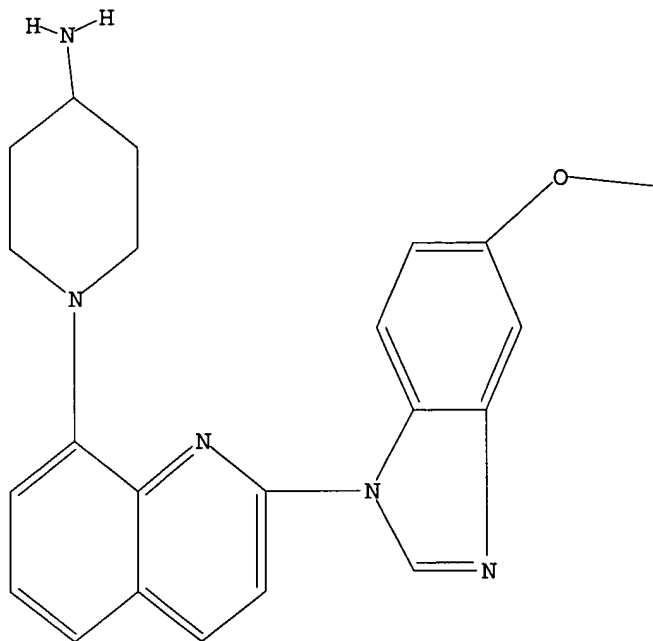
10/648,151

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

L3 33 SEA SSS FUL L1

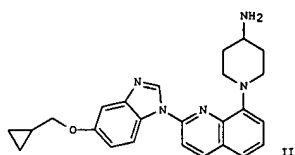
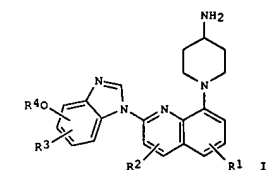
=> file ca

=> s l3

L4 3 L3

=> d ibib abs fhitstr 1-3

L4 ANSWER 2 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [wherein R1-R3 = independently H, (cyclo)alkyl, halo, cyano, CF₃, F₂CHO, F₃CO, (cyclo)alkoxy, or NR₇R₈; R₄ = (un)substituted alkyl or heterocyclyl(alkyl); R₇ and R₈ = independently H or (cyclo)alkyl; and pharmaceutically acceptable salts, prodrugs, hydrates, or solvates thereof] were prepared. For example, 2,8-quinolinediol was protected with tert-butylidimethylsilyl chloride and coupled with N-phenyl-bis(trifluoromethanesulfonimide) to give 8-(tert-butylidimethylsilyloxy)quinolin-2-yl triflate. Reaction of the triflate with 4-methoxy-2-nitroaniline, followed by reduction with 10% Pd/C provided N1-[8-(tert-butylidimethylsilyloxy)quinolin-2-yl]-4-methoxybenzene-1,2-diamine. Deprotection using 2-methoxyethanol and cyclization with formamidine acetate afforded 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, which was converted to the triflate. Substitution with piperidin-4-ylcarbamate tert-Bu ester using (i)-BINAP and tris(dibenzylideneacetone)dipalladium (0) in dioxane, followed by reduction to the alc., and substitution with cyclopropylmethyl bromide gave II. The invention also relates to methods of treating abnormal cell growth, such as cancer, in mammals by administering I and to pharmaceutical compns. containing I for treating such disorders (no data).

IT 670220-86-7P, [1-[2-[5-[3-(Morpholin-4-yl)propoxy]benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]amine

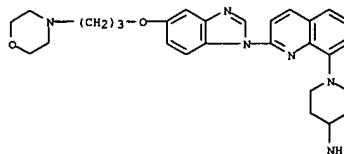
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L4 ANSWER 3 OF 3 CA COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 135:33479 CA
 TITLE: Preparation of 1-(2-quinolinyl)-1H-benzimidazoles as antiproliferative agents
 INVENTOR(S): Barth, Wayne Ernest; Luzzio, Michael Joseph; Lyssakatos, Joseph Peter
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 183 pp.
 CODEN: PXXXX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

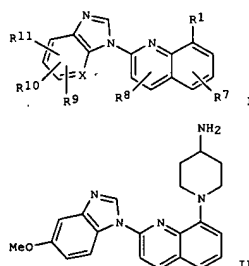
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AU 782077	B2	20050630	AU 2001-10480	20001110
ZA 2002004244	A	20030528	ZA 2002-4244	20020528
NO 200202556	A	20020729	NO 2002-2556	20020528
BG 106812	A	20030131	BG 2002-106812	20020611
PRIORITY APPLN. INFO.:			US 1999-168217P	P 19991130
			WO 2000-IB1636	W 20001110

OTHER SOURCE(S): MARPAT 135:33479
 GI

L4 ANSWER 2 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (antiproliferative agent; prepn. of benzimidazoles as antiproliferative agents)
 RN 670220-86-7 CA
 CN 4-Piperidinamine, 1-[2-[5-[3-(4-morpholinyl)propoxy]-1H-benzimidazol-1-yl]-8-quinolinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. (I) [wherein X = CH or N; R1 = (un)substituted (CR4R5)tCOOR3, (CR4R5)tCONR3R4, (CR4R5)tOR3, or (CR4R5)t(acyl), wherein (CR4R5)t groups may be unsatd.; t = 0-5; R2, R7, R8, R9, R10, and R11 = independently H, (cyclo)alkyl, alkenyl, alkynyl, oxo, halo, CN, NO₂, CF₃, OCHF₂, OCF₃, N₃, OR₃, COR₃, COOR₃, NR₄SO₂R₆, SO₂NR₃R₄, NR₄COR₃, CONR₃R₄, NR₅CONR₃R₄, NR₃R₄, etc.; R3 = independently H or (un)substituted alkyl, (CR4R5)m(aryl), or (CR4R5)m(heterocyclyl); m = 0-4; R4 and R5 = independently H or alkyl; or R4 and R5 together with the C or N to which they are attached may form a 4-10 membered ring; R6 = substituents provided in the definition of R3 except R6 = H; and pharmaceutically acceptable salts, prodrugs, and solvates thereof] were prepared as antiproliferative agents. For example, II was formed in a 7-step sequence involving (1) silylation and triflation of 2,8-quinolinediol, (2) addition of 4-methoxy-2-nitroaniline, (3) reduction to the diamine using Pd/C, (4) cycloaddn. with formamidine acetate to give 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, (5) triflation, (6) addition of piperidin-4-ylcarbamate tert-Bu ester, and (7) deprotection using TFA. I inhibited PDGFR β tyrosine kinase activity with IC₅₀ values ranging from 1 nM to 1000 nM. Thus, I are useful for the treatment of diseases involving abnormal cell growth, such as cancer (no data).

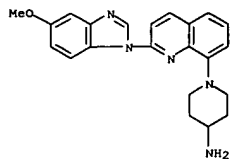
IT 343786-42-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 1-(2-quinolinyl)-1H-benzimidazole antiproliferative agents from quinolines and anilines)

RN 343786-42-5 CA
 CN 4-Piperidinamine, 1-[2-(5-methoxy-1H-benzimidazol-1-yl)-8-quinolinyl]- (9CI) (CA INDEX NAME)

10/648,151

L4 ANSWER 3 OF 3 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

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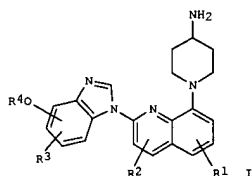
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L5 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 142:93821 MARPAT
 TITLE: Processes for the preparation of 1-[(benzimidazol-1-yl)quinolin-8-yl]piperidin-4-ylamine derivatives
 INVENTOR(S): Tom, Norma Jacqueline; Ripin, David Harold Brown; Castaldi, Michael James
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113322	A1	20041229	WO 2004-1B1983	20040614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005020625	A1	20050127	US 2004-875030	20040623
PRIORITY APPLN. INFO.:		US 2003-482176P 20030624		
OTHER SOURCE(S):		CASREACT 142:93821		



AB The present invention relates to a process for preparing a compound of the formula (I) or a pharmaceutically acceptable salt, prodrug, hydrate or solvate thereof [wherein R1, R2, R3 = independently H, C1-6 alkyl, C3-6 cycloalkyl, halo, cyano, CF3, F2CHO, CF3O, C1-6 alkoxy, C3-6 cycloalkoxy,

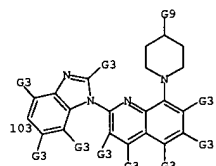
L5 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 cycloalkyl, or NR12R13 (wherein R12, R13 = independently H, C1-6 alkyl, or C3-6 cycloalkyl); R4 = (CR5R6)mH or (CR7R8)nQ (wherein Q = optionally substituted 4 to 10 membered arom. or nonarom. heterocyclic compd. one or more heteroatoms each selected from O, S and N; m = 1-5; n = 0-5; R5-R8 = independently H or C1-6 alkyl), comprising reacting a compd. of the formula (II) (wherein BOC = tert-butoxycarbonyl; R1-R4 = same as above) with a metal alkoxide in the presence of water. The compd. I is useful in the treatment of abnormal cell growth such as cancer in mammals. Thus, mesylation of 3-methyl-3-oxetanemethanol by methanesulfonyl chloride in the presence of Et3N in MeCN followed by etherification with 4-amino-3-nitrophenol gave [4-(3-methyloxetan-3-ylmethoxy)-2-nitrophenyl]amine which underwent amination with 8-benzoyloxyquinolin-2-ol in the presence of 1,2-bis(diphenylphosphino)ethane and Pd(OAc)2 in toluene at 100° for 24-30 h to give (8-benzoyloxyquinolin-2-yl)[4-[(3-methyloxetan-3-yl)methoxy]-2-nitrophenyl]amine (III). Reductive cyclocondensation and debenzoylation of III with formic acid in the presence of Pd(OH)2/C and Et3N in ethanol at 55° for 15-25 h gave 2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-ol which was triflated by N-phenyltrifluoromethanesulfonamide in the presence of Et3N in DMF at 20-30° for 20-30 h to give trifluoromethanesulfonic acid 2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-yl ester (IV). IV was coupled with piperidin-4-ylcarbamic acid tert-Bu ester in the presence of BINAP and tris(dibenzylideneacetone)dipalladium in PhMe at 85° for 24-32 h to give [1-[2-[5-(3-methyloxetan-3-ylmethoxy)benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]carbamic acid tert-Bu ester which was refluxed with sodium tert-butoxide and 1 equiv of H2O in 2-methyltetrahydrofuran for 24-30° and quenched by 20% aq. citric acid, and basified with 50% aq. NaOH to give, after workup, 86% [1-[2-[5-(3-methyloxetan-3-yl)methoxy]benzimidazol-1-yl]quinolin-8-yl]piperidin-4-yl]amine.

MSTR 1

G1—O—G2

G2 = 103

L5 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G6 = (1-5) CH2

G9 = NH2

Patent location:

Note:

Note:

REFERENCE COUNT:

FORMAT

claim 1
 or pharmaceutically acceptable salts, prodrugs,
 hydrates or solvates
 also incorporates claim 13

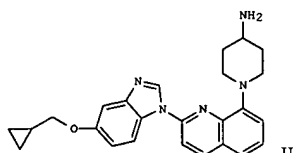
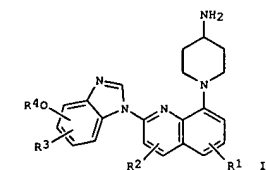
2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE

L5 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 140:253564 MARPAT
 TITLE: Preparation of benzimidazole derivatives as antiproliferative agents
 INVENTOR(S): Kath, John Charles; Lyssikatos, Joseph Peter; Wang, Huifen Faye
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020431	A2	20040311	WO 2003-1B3634	20030814
WO 2004020431	A3	20040513		
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BR 2003013942	A	20050712	BR 2003-13942	20030814
US 2005124599	A1	20050609	US 2003-648151	20030826
PRIORITY APPLN. INFO.:		US 2002-406524P 20020828		
		US 2002-417047P 20021008		
		WO 2003-1B3634 20030814		

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L5 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [wherein R1-R3 = independently H, (cyclo)alkyl, halo, cyano, CF₃, F₂CHO, F₃CO, (cyclo)alkoxy, or NR₇R₈; R₄ = (un)substituted alkyl or heterocyclyl(alkyl); R₇ and R₈ = independently H or (cyclo)alkyl; and pharmaceutically acceptable salts, prodrugs, hydrates, or solvates thereof] were prepared. For example, 2,8-quinolinediol was protected with tert-butylidimethylsilyl chloride and coupled with N-phenyl-bis(trifluoromethanesulfonimide) to give 8-(tert-butylidimethylsilyloxy)quinolin-2-yl triflate. Reaction of the triflate with 4-methoxy-2-nitroaniline, followed by reduction with 10% Pd/C provided N1-[8-(tert-butylidimethylsilyloxy)quinolin-2-yl]-4-methoxybenzene-1,2-diamine. Deprotection using 2-methoxyethanol and cyclization with formamidine acetate afforded 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, which was converted to the triflate. Substitution with piperidin-4-ylcarbamate tert-Bu ester using (1)-BINAP and tris(dibenzylideneacetone)dipalladium (0) in dioxane, followed by reduction to the alc., and substitution with cyclopropylmethyl bromide gave II. The invention also relates to methods of treating abnormal cell growth, such as cancer, in mammals by administering I and to pharmaceutical compns. containing I for treating such disorders (no data).

MSTR 1

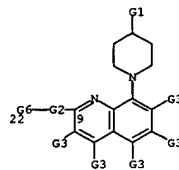
L5 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:33479 MARPAT
 TITLE: Preparation of 1-(2-quinolinyl)-1H-benzimidazoles as antiproliferative agents
 INVENTOR(S): Barth, Wayne Ernest; Luzzio, Michael Joseph; Lyssikatos, Joseph Peter
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 183 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
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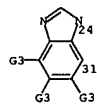
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PRIORITY APPLN. INFO.:			US 1999-168217P	19991130
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L5 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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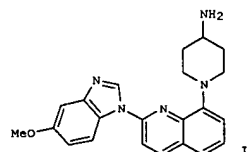
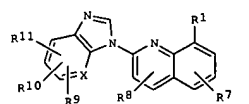


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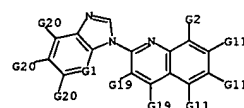
Patent location: claim 1
 Note: also incorporates claim 15
 Note: or pharmaceutically acceptable salts, prodrugs, hydrates or solvates
 Note: substitution is restricted

L5 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. (I) [wherein X = CH or N; R1 = (un)substituted (CR4R5)tCOOR3, (CR4R5)tCONR3R4, (CR4R5)tOR3, or (CR4R5)t(acyl), wherein (CR4R5)t groups may be unsatd.; t = 0-5; R2, R7, R8, R9, R10, and R11 = independently H, (cyclo)alkyl, alkenyl, alkynyl, oxo, halo, CN, NO₂, CF₃, OCHF₂, OCF₃, N₃, OR₃, COR₃, COOR₃, NR₄SO₂R₆, SO₂NR₃R₄, NR₄COR₃, CONR₃R₄, NR₅CONR₃R₄, NR₃R₄, etc.; R3 = independently H or (un)substituted alkyl, (CR4R5)m(aryl), or (CR4R5)m(heterocyclyl); m = 0-4; R4 and R5 = independently H or alkyl; or R4 and R5 together with the C or N to which they are attached may form a 4-10 membered ring; R6 = substituents provided in the definition of R3 except R6 = H; and pharmaceutically acceptable salts, prodrugs, and solvates thereof] were prepared as antiproliferative agents. For example, II was formed in a 7-step sequence involving (1) silylation and triflation of 2,8-quinolinediol, (2) addition of 4-methoxy-2-nitroaniline, (3) reduction to the diamine using Pd/C, (4) cyclization with formamidine acetate to give 2-(5-methoxybenzimidazol-1-yl)quinolin-8-ol, (5) triflation, (6) addition of piperidin-4-ylcarbamate tert-Bu ester, and (7) deprotection using TFA. I inhibited PDGFR β tyrosine kinase activity with IC₅₀ values ranging from 1 nM to 1000 nM. Thus, I are useful for the treatment of diseases involving abnormal cell growth, such as cancer (no data).

MSTR 1



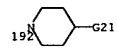
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L5 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = 20



G2 = 192



G20 = 141



G21 = NH2

Patent location:

Note:

Note:

claim 1

or pharmaceutically acceptable salts, prodrugs, or

solvates

substitution is restricted

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

10/648,151

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FILE 'REGISTRY' ENTERED AT 15:41:51 ON 01 NOV 2005

L1 STRUCTURE UPLOADED

L2 2 S L1 SAM

L3 33 S L1 FULL

FILE 'CA' ENTERED AT 15:42:18 ON 01 NOV 2005

L4 3 S L3

FILE 'MARPAT' ENTERED AT 15:42:35 ON 01 NOV 2005

L5 3 S L1 FULL

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STN INTERNATIONAL LOGOFF AT 15:42:55 ON 01 NOV 2005